

C1
Cont'd

wherein the R¹R²CH group in the 5-position of the cyclic parent structure and the hydroxy group in the 3-position of the cyclic parent structure are each in the trans position relative to each other and wherein the substituent R⁴ in the 4-position and the hydroxy group in the 3-position of the cyclic parent structure are each in the cis position relative to each other, and wherein

n is 0 or 1,

R¹ is hydrogen;

R² is hydrogen;

R³ is hydrogen, and

R⁴ is hydrogen or lower alkyl, or

R³ and R⁴ also together are a C₃-C₆-

alkylene chain optionally containing 1 to 3 double bonds or together form the 7, 7-dimethylbicyclo[3.1.1] heptyl-system

R⁵ is hydrogen or lower alkyl, and

R⁶ is hydrogen, and

R⁷ is hydrogen, and

R⁸ is hydrogen;

a monocyclic or bicyclic ring system selected from the group consisting of cyclopropyl, cyclopentyl cyclohexyl, phenyl, p-bromophenyl and 3-indolyl;

lower alkyl; phenyl-lower alkyl or lower-alkoxy lower alkyl, or

R⁶ and R⁷ also together may form a bond, and

R⁵ and R⁸, together with the carbon atoms to which they are bonded, may form an aromatic C₆-ring system,

R⁹ is hydrogen; lower alkyl; phenyl-lower alkyl optionally

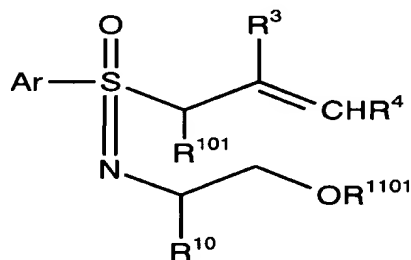
substituted one to three times in the phenyl ring by lower alkyl, lower haloalkyl, lower alkoxy or lower haloalkoxy; or an amino protecting group,
or

R⁸ and R⁹ also together may form a C₃-C₄-alkylene chain,

or an acid addition salt thereof, wherein any reactive groups which may be present in said compound of Formula Ia' may be blocked by suitable protecting groups,

said process comprising the steps of:

a) reacting a compound corresponding to formula II:



II

wherein

R³ and R⁴ have the above meanings,

R¹⁰¹ has the meaning given above for R¹

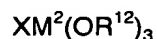
Ar represents phenyl optionally substituted one to three times by lower alkyl,

R¹⁰ is lower alkyl, or phenyl optionally substituted once in the phenyl ring by lower alkyl or by hydroxy protected with a suitable protecting group, or phenyl-lower alkyl optionally substituted once in the phenyl ring by lower alkyl, and

R¹¹⁰¹ stands for a silyl protecting group,

successively with

- (i) a base for the deprotonation thereof,
- (ii) an organometallic reagent corresponding to the formula VII:



VII

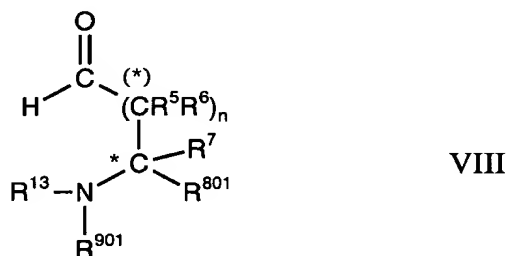
wherein

X is halogen,

M² is a tetravalent transition metal, and

R¹² is lower alkyl, phenyl or phenyl-lower alkyl, and

(iii) a stereoisomer of a compound of the general formula VIII:



wherein

R⁵, R⁶, R⁷ and n have the above meanings,

R⁸⁰¹ has the meaning of R⁸, with any reactive groups, if

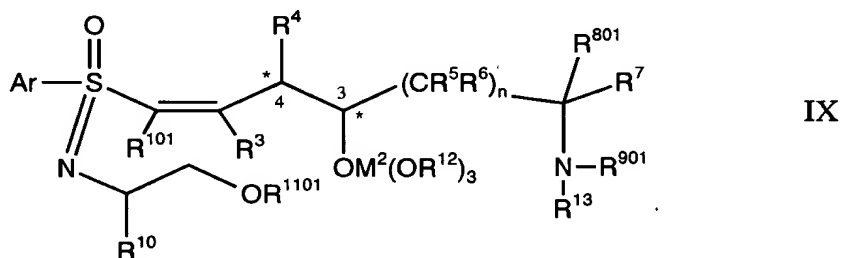
necessary, being blocked by base-stable protecting groups,

R⁹⁰¹ is hydrogen or together with R⁸⁰¹ forms a C₃-C₄

alkylene chain, and

R¹³ is a base-labile amino protecting group which when cleaved leaves behind a nitrogen nucleophile,

to form a stereoisomer of a compound corresponding to the formula IX:

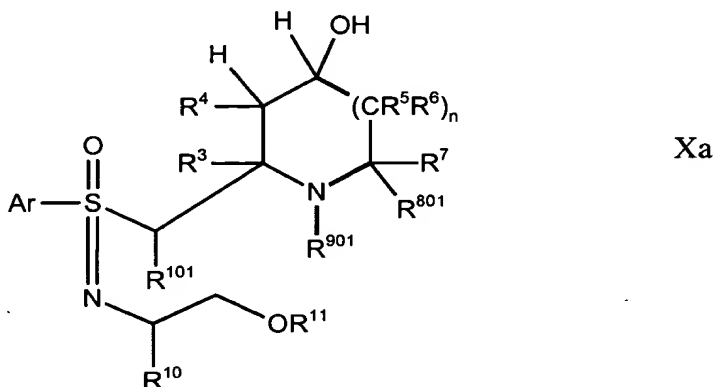


wherein

R¹⁰¹, R³, R⁴, R⁵, R⁶, R⁷, R⁸⁰¹, R⁹⁰¹, R¹⁰, R¹¹⁰¹, R¹², R¹³, n, Ar and M² have the above meanings,

and

- b) converting the compound of Formula IX by treatment with a base reagent for removing the group R^{13} , into a compound corresponding to formula Xa:



wherein

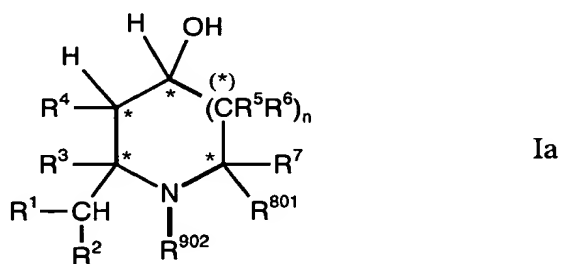
R^{101} , R^3 , R^4 , R^5 , R^6 , R^7 , R^{801} , R^{901} , R^{10} , n and Ar have the above meanings, and R^{11} is hydrogen or a silyl protecting group,

and

if R^{901} is hydrogen, blocking the nitrogen atom in the cyclic parent structure of the resulting compound of Formula Xa with a base-stable protecting group, and cleaving off any silyl protecting group R^{11} which may still be present;

and

- c) for the production of a compound corresponding to formula Ia:



wherein

R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^{801} and n have the above meanings, and

R^{902} stands for a base-stable protecting group or, together with R^{801} , for a C_3 - C_4 -alkylene chain,